9/27/05

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PASSWORD:
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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  JUL 20  Powerful new interactive analysis and visualization software,
                  STN AnaVist, now available
 NEWS  4  AUG 11  STN AnaVist workshops to be held in North America
 NEWS  5  AUG 30  CA/CAplus -Increased access to 19th century research documents
 NEWS  6  AUG 30  CASREACT - Enhanced with displayable reaction conditions
 NEWS  7  SEP 09  ACD predicted properties enhanced in REGISTRY/ZREGISTRY
 NEWS  8  SEP 22  MATHDI to be removed from STN

 NEWS EXPRESS  JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:00:39 ON 27 SEP 2005

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:00:48 ON 27 SEP 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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9/27/05

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6
DICTIONARY FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

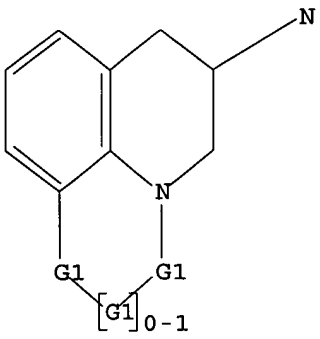
Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10678212.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:01:09 FILE 'REGISTRY'

10678212

9/27/05

SAMPLE SCREEN SEARCH COMPLETED - 3809 TO ITERATE

52.5% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 72479 TO 79881
PROJECTED ANSWERS: 3 TO 257

L2 3 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:01:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 75591 TO ITERATE

100.0% PROCESSED 75591 ITERATIONS 278 ANSWERS
SEARCH TIME: 00.00.01

L3 278 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 14:01:20 ON 27 SEP 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 27 Sep 2005 VOL 143 ISS 14
FILE LAST UPDATED: 26 Sep 2005 (20050926/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 93 L3

=> s l4 and sweetner
71 SWEETNER
52 SWEETNERS
119 SWEETNER
(SWEETNER OR SWEETNERS)

9/27/05

```
L5            0 L4 AND SWEETNER

=> s l4 and sweetener
          5513 SWEETENER
          3689 SWEETENERS
          7123 SWEETENER
                 (SWEETENER OR SWEETENERS)
L6            1 L4 AND SWEETENER

=> d abs bib hitstr

L6   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
AB   A pharmaceutical composition comprising a nitrogen-containing tricyclic
compound,
     i.e., a heterocyclic amine and its salts with an acid of an artificial
     sweetener is provided.  Also, methods of making a salt or a crystalline
     salt of heterocyclic amine compound, and methods of treatment of sexual
     dysfunction using the salt or the crystalline salt of heterocyclic amine
compound
     are described.  For example, a crystalline (R)-5,6-dihydro-5-(methylamino)-4H-
     imidazo(4,5-i,j)quinoline-2(1H)thione cyclamate salt (I) was prepared by the
     reaction of 64 mg of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-
     i]quinoline-2(1H)thione and 36 mg of cyclamic acid (molar ratio of about 1
     to 0.7).  The cyclamate I was collected by filtration in a yield of about
     76% (57 mg).
AN   2004:331921  CAPLUS
DN   140:344909
TI   Compositions containing a heterocyclic amine compound for treating sexual
     dysfunction
IN   Hawley, Michael; Kontny, Mark J.; Halstead, Gordon W.
PA   Pharmacia Corporation, USA
SO   PCT Int. Appl., 26 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
     --------------      ----   --------    --------------------     --------
PI   WO 2004032853        A2    20040422    WO 2003-US31656          20031002
     WO 2004032853        A3    20041104
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2500919           AA    20040422    CA 2003-2500919          20031002
     US 2005043296        A1    20050224    US 2003-678212           20031002
     EP 1545521           A2    20050629    EP 2003-808156           20031002
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003014525        A     20050726    BR 2003-14525            20031002
PRAI US 2002-416294P      P     20021004
     WO 2003-US31656      W     20031002
OS   MARPAT 140:344909
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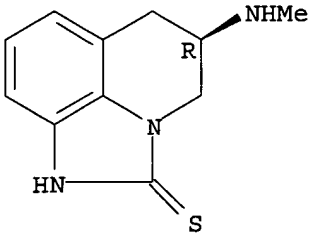
IT **282522-94-5P 680179-95-7P 680179-96-8P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of salts of heterocyclic amines with **sweetener** acid for treating sexual dysfunction)
RN 282522-94-5 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

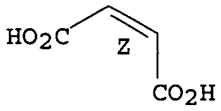
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

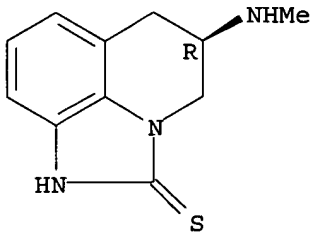


RN 680179-95-7 CAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

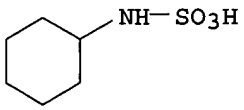
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 100-88-9
CMF C6 H13 N O3 S

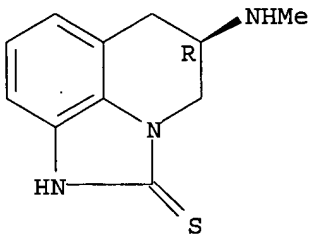


RN 680179-96-8 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

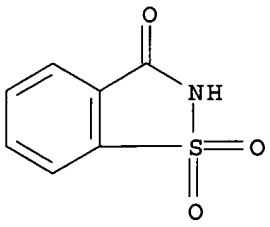
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

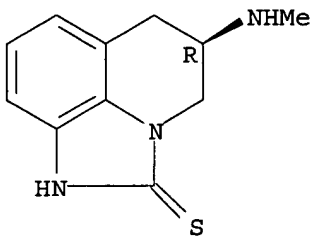
CRN 81-07-2
CMF C7 H5 N O3 S



IT **282522-93-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of salts of heterocyclic amines with **sweetener** acid for treating sexual dysfunction)
RN 282522-93-4 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

9/27/05

Absolute stereochemistry.



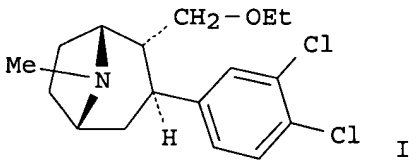
=> s l4 and (sucrose or mannitol or propylene glycol or sodium saccharin or acesulfame K or neotame or aspartame or cyclamic acid or cyclohexanesulfamic acid)

```
        137875 SUCROSE
            92 SUCROSES
        137887 SUCROSE
                 (SUCROSE OR SUCROSES)
         30116 MANNITOL
            97 MANNITOLS
         30128 MANNITOL
                 (MANNITOL OR MANNITOLS)
        171517 PROPYLENE
           296 PROPYLENES
        171612 PROPYLENE
                 (PROPYLENE OR PROPYLENES)
        336649 GLYCOL
         44166 GLYCOLS
        351730 GLYCOL
                 (GLYCOL OR GLYCOLS)
         43339 PROPYLENE GLYCOL
                 (PROPYLENE(W)GLYCOL)
        997656 SODIUM
            34 SODIUMS
        997665 SODIUM
                 (SODIUM OR SODIUMS)
         10196 SACCHARIN
            96 SACCHARINS
         10218 SACCHARIN
                 (SACCHARIN OR SACCHARINS)
          1010 SODIUM SACCHARIN
                 (SODIUM(W)SACCHARIN)
           778 ACESULFAME
             2 ACESULFAMES
           778 ACESULFAME
                 (ACESULFAME OR ACESULFAMES)
       1330907 K
           395 ACESULFAME K
                 (ACESULFAME(W)K)
           152 NEOTAME
          3450 ASPARTAME
             6 ASPARTAMES
          3450 ASPARTAME
                 (ASPARTAME OR ASPARTAMES)
           119 CYCLAMIC
       4038583 ACID
```

```
       1493262 ACIDS
       4521181 ACID
                 (ACID OR ACIDS)
           119 CYCLAMIC ACID
                 (CYCLAMIC(W)ACID)
           343 CYCLOHEXANESULFAMIC
       4038583 ACID
       1493262 ACIDS
       4521181 ACID
                 (ACID OR ACIDS)
           343 CYCLOHEXANESULFAMIC ACID
                 (CYCLOHEXANESULFAMIC(W)ACID)
L7           3 L4 AND ( SUCROSE OR MANNITOL OR PROPYLENE GLYCOL OR SODIUM SACCH
               ARIN OR ACESULFAME K OR NEOTAME OR ASPARTAME OR CYCLAMIC ACID
               OR CYCLOHEXANESULFAMIC ACID)

=> d abs fbib hitstr 1-3

L7   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2005 ACS on STN
GI
```



I

AB The invention relates to the use of a monoamine neurotransmitter re-uptake inhibitor comprising a 2,3-disubstituted tropane moiety, or a tautomer, a pharmaceutically acceptable salt, solvate, or physiol. functional derivative thereof for the manufacture of a medicament for the sustained reduction of body weight
Thus, a tablet was prepared containing a tropane derivative (I) mg, **mannitol** 121.50 mg, maize starch 79.85 mg, highly dispersed anhydrous silicon dioxide 2.30 mg, Polyvidon K25 2.35 mg, magnesium stearate 3 mg.

AN 2005:696745 CAPLUS
DN 143:199853
TI Monoamine neurotransmitter re-uptake inhibitor comprising a 2,3-disubstituted tropane moiety for the sustained reduction of body weight
IN Reess, Juergen; Raschig, Andreas; Pollentier, Stephane; Graff, Ole; Mikkelsen, Birgit Ohrt; Priskorn, Morten
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.; Neurosearch A/S
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005070427	A1	20050804	WO 2005-EP165	20050111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

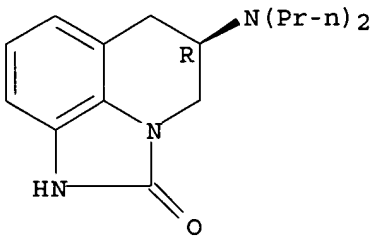
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

			EP 2004-1282	A	20040122
			EP 2004-5816	A	20040311
US 2005203124	A1	20050915	US 2005-39991		20050121
			EP 2004-1282	A	20040122
			EP 2004-5816	A	20040311

IT **132874-78-3**, U 86170F **162616-64-0**, U 91356A
179386-43-7, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination with; monoamine neurotransmitter re-uptake inhibitor comprising a 2,3-disubstituted tropane moiety for sustained reduction of body weight)

RN 132874-78-3 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-, monohydrobromide, (5R)- (9CI) (CA INDEX NAME)

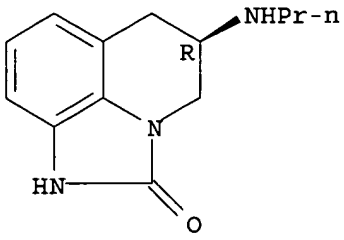
Absolute stereochemistry.



● HBr

RN 162616-64-0 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(propylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

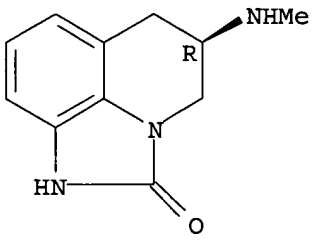
Absolute stereochemistry.



● HCl

RN 179386-43-7 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AB A pharmaceutical composition comprising a nitrogen-containing tricyclic compound,
i.e., a heterocyclic amine and its salts with an acid of an artificial sweetener is provided. Also, methods of making a salt or a crystalline salt of heterocyclic amine compound, and methods of treatment of sexual dysfunction using the salt or the crystalline salt of heterocyclic amine compound are described. For example, a crystalline (R)-5,6-dihydro-5-(methylamino)-4H-imidazo(4,5-i,j)quinoline-2(1H)thione cyclamate salt (I) was prepared by the reaction of 64 mg of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-i]quinoline-2(1H)thione and 36 mg of **cyclamic acid** (molar ratio of about 1 to 0.7). The cyclamate I was collected by filtration in a yield of about 76% (57 mg).
AN 2004:331921 CAPLUS
DN 140:344909
TI Compositions containing a heterocyclic amine compound for treating sexual dysfunction
IN Hawley, Michael; Kontny, Mark J.; Halstead, Gordon W.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2004032853	A2	20040422	WO 2003-US31656	20031002
	WO 2004032853	A3	20041104		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-416294P	P 20021004
	CA 2500919	AA	20040422	CA 2003-2500919	20031002
				US 2002-416294P	P 20021004
				WO 2003-US31656	W 20031002
	US 2005043296	A1	20050224	US 2003-678212	20031002
				US 2002-416294P	P 20021004
	EP 1545521	A2	20050629	EP 2003-808156	20031002
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				US 2002-416294P	P 20021004
				WO 2003-US31656	W 20031002
	BR 2003014525	A	20050726	BR 2003-14525	20031002
				US 2002-416294P	P 20021004
				WO 2003-US31656	W 20031002

OS MARPAT 140:344909

IT **282522-94-5P 680179-95-7P 680179-96-8P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of salts of heterocyclic amines with sweetener acid for treating sexual dysfunction)

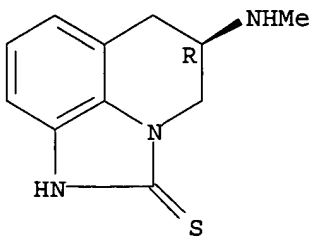
RN 282522-94-5 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

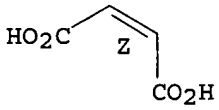
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

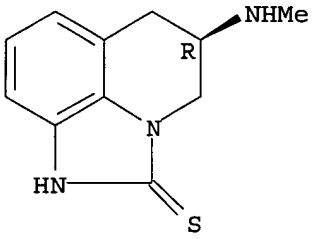


RN 680179-95-7 CAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

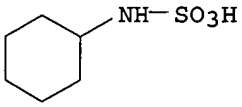
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 100-88-9
CMF C6 H13 N O3 S

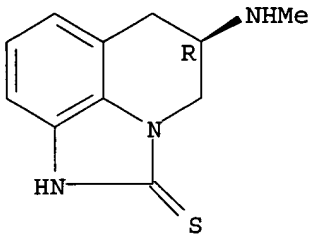


RN 680179-96-8 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

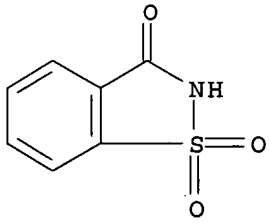
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



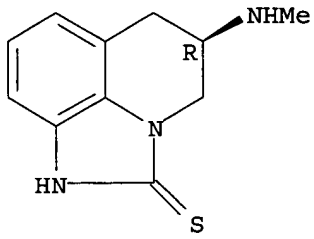
CM 2

CRN 81-07-2
CMF C7 H5 N O3 S



IT **282522-93-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of salts of heterocyclic amines with sweetener acid for treating sexual dysfunction)
RN 282522-93-4 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AB The present invention relates to zero-order sustained-release solid dosage forms suitable for administration of a wide range of drugs, especially those that are water-soluble The solid dosage form comprises (a) a matrix core comprising Et cellulose and the active agent and (b) a hydrophobic polymer coating encasing the entire matrix core. Thus, tablets contained clindamycin-HCl 76.44, Et cellulose 18.08, and Mg stearate 0.25%. Extra-granular formulations comprised Ethocel 4.99, and Mg stearate 0.25%. The coating composition comprised HPMC 10.8, and Surelease 43.2%.
AN 2003:511118 CAPLUS
DN 139:90451

TI Zero-order sustained-release dosage forms
IN Heimlich, John M.; Noack, Robert M.; Cox, Steve R.; Ganorkar, Loksidh D.; Verhage, Ronald R.; John, Lee E.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2003053402	A1	20030703	WO 2002-US41104		20021219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
	CA 2470636	AA	20030703	CA 2002-2470636		20021219
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
				WO 2002-US41104	W	20021219
	US 2003133982	A1	20030717	US 2002-324719		20021219
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
	EP 1455751	A1	20040915	EP 2002-792508		20021219
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
				WO 2002-US41104	W	20021219
	BR 2002015262	A	20041228	BR 2002-15262		20021219
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
				WO 2002-US41104	W	20021219
	JP 2005516020	T2	20050602	JP 2003-554161		20021219
				US 2001-342642P	P	20011220
				US 2001-342819P	P	20011220
				WO 2002-US41104	W	20021219

PATENT FAMILY INFORMATION:

FAN 2003:511133

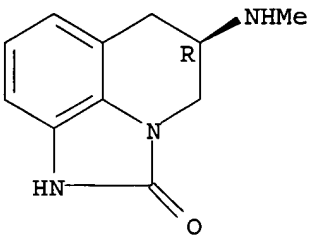
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003053420	A1	20030703	WO 2002-US41100	20021219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,				

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-342642P	P 20011220
US 2003129236	A1	20030710	US 2002-324718	20021219
			US 2001-342642P	P 20011220

IT **179386-43-7**, Sumanirole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(zero-order sustained-release dosage forms)
RN 179386-43-7 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d abs bib hitstr 1-3

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AB Sucralose at 0.01-10/1 drug weight in oral formulations is used as a **sweetener** for covering the unpleasant taste. Formulation examples of tablets and liqs. were given.
AN 2001:891574 CAPLUS
DN 136:11230
TI Sucralose as a **sweetener** for **drug formulations**
IN Kato, Yoshiteru; Ando, Hidenobu
PA Eisai Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001342151	A2	20011211	JP 2001-98970	20010330
PRAI	JP 2000-97451	A	20000331		

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AB The flavor of solid **drug formulations** is improved by spray drying or fluidized-bed granulation together with ≥1 polyol or carbohydrate and optionally a **sweetener** and compression into a solid dosage form. Thus, a solution containing CaCO3 65.50, Karion Instant (sorbitol) 28.19, Karion Powder P300 (sorbitol) 4.70, neohesperidin DC (**sweetener**) 0.10, and chlorophyllin 0.01 parts was spray dried, and the dried material was mixed with peppermint flavoring 0.30 and Mg stearate 1.00 parts and compressed into antacid tablets.
AN 1997:736271 CAPLUS
DN 127:362634
TI Flavor improvement of solid drugs with polyols
IN Schwarz, Eugen; Moeschel, Gernot; Tallavajhala, Siva
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 6 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19617487	A1	19971106	DE 1996-19617487	19960502
	CA 2253386	AA	19971113	CA 1997-2253386	19970410
	WO 9741835	A1	19971113	WO 1997-EP1781	19970410
	W: CA, CN, CZ, HU, JP, KR, LT, LV, RU, SG, SI, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 904059	A1	19990331	EP 1997-917302	19970410
	EP 904059	B1	20030618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
	CN 1216919	A	19990519	CN 1997-194277	19970410
	JP 2000509400	T2	20000725	JP 1997-539463	19970410
	RU 2180560	C2	20020320	RU 1998-121702	19970410
	AT 243025	E	20030715	AT 1997-917302	19970410
	ES 2202601	T3	20040401	ES 1997-917302	19970410
	TW 508240	B	20021101	TW 1997-86105746	19970430
	US 6149941	A	20001121	US 1998-180022	19981030

	KR 2000010709	A	20000225	KR 1998-708810	19981102
	HK 1019141	A1	20040917	HK 1999-104345	19991006
PRAI	DE 1996-19617487	A	19960502		
	WO 1997-EP1781	W	19970410		

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AB The compns. comprise surfactants, such as Na laurylsulfate, Na laurylsarcosinate, Na alkylsulfoacetate, etc., spray-dried essential oils, and effervescence-causing components. A composition comprised aspirin 225, surfactant 5, **sweetener** 95, spray-dried essential oil 400, and effervescence-causing mixture 525 mg. A mouthwash can also be produced by this method.

AN 1991:129164 CAPLUS

DN 114:129164

TI Surfactant-based dry granular nonalcoholic oral drug delivery systems

IN Wilson, Mark E.; Cole, B. Harrison

PA Spectrum Consumer Products Co., Inc., USA

SO U.S., 6 pp. Cont.-in-part of U.S. 4,919,918.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4971785	A	19901120	US 1990-502618	19900330
	US 4919918	A	19900424	US 1988-167504	19880314
	CA 1328818	A1	19940426	CA 1989-593151	19890308
	AU 8931255	A1	19890914	AU 1989-31255	19890313
	JP 01275521	A2	19891106	JP 1989-63424	19890314
	JP 2938884	B2	19990825		
	CA 2031572	AA	19911001	CA 1990-2031572	19901205
	CA 2031572	C	19960130		
	EP 448895	A1	19911002	EP 1990-403685	19901219
	EP 448895	B1	19940525		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL				
	AT 106012	E	19940615	AT 1990-403685	19901219
	JP 05017345	A2	19930126	JP 1991-49707	19910314
	AU 9173693	A1	19910613	AU 1991-73693	19910321
	AU 635826	B2	19930401		
PRAI	US 1988-167504	A2	19880314		
	US 1990-502618	A	19900330		
	EP 1990-403685	A	19901219		

=>

9/27/05

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L14 STRUCTURE UPLOADED

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L14 HAS NO ANSWERS
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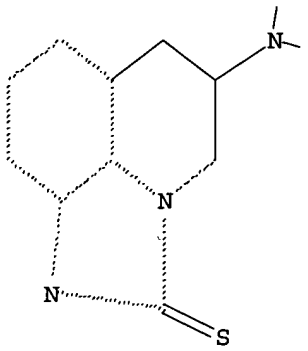

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 2 TO 124

L15 2 SEA SSS SAM L14

=> s l14 ful
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100.0% PROCESSED 22 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L16 3 SEA SSS FUL L14

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	424.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.57

9/27/05

FILE 'CAPLUS' ENTERED AT 14:21:32 ON 27 SEP 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 27 Sep 2005 VOL 143 ISS 14
FILE LAST UPDATED: 26 Sep 2005 (20050926/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l16
L17 5 L16

=> d abs fbib hitstr 1-5

L17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AB This invention is directed to pharmaceutical compns. and kits comprising (i) a dopamine agonist of a formula described in the specification, (ii) a monoamine reuptake inhibitor or pharmaceutically acceptable salt thereof; and optionally (iii) a pharmaceutically acceptable carrier. This invention further relates to methods of treatment using those pharmaceutical compns. Disorders or conditions that may be treated by the compns., kits and methods of the invention include hypertension, depression, generalized anxiety disorder, phobias, posttraumatic stress syndrome, avoidant personality disorder, premature ejaculation, eating disorders, obesity, chemical dependencies, cluster headache, pain, Alzheimer's disease, obsessive-compulsive disorder, panic disorder, memory disorders, Parkinson's diseases, endocrine disorders, vasospasm, cerebellar ataxia, gastrointestinal tract disorders, neg. symptoms of schizophrenia, premenstrual syndrome, Fibromyalgia Syndrome, stress incontinence, Tourette syndrome, trichotillomania, kleptomania, male impotence, cancer, chronic paroxysmal hemicrania, headache and a combination thereof in a mammal such as a human.
AN 2005:490312 CAPLUS
DN 143:32322
TI Combination of dopamine agonists and monoamine reuptake inhibitors
IN Glue, Paul William; Saltarelli, Mario David; Marek, Gerard Joseph
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2005051488 A1 20050609 WO 2004-IB3856 20041117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003-525470P P 20031126

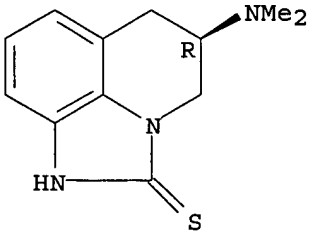
OS MARPAT 143:32322

IT **853055-98-8**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(combination of dopamine agonists and monoamine reuptake inhibitors)

RN 853055-98-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dimethylamino)-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

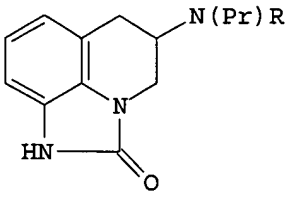
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

GI

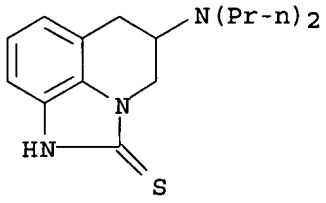


I, R=Pr
II, R=H

AB (R)-5-(dipropylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one (I, U-86170), a potent high intrinsic activity dopamine (D2) agonist, has been prepared in eleven steps from quinoline. In several tests, the compound showed dopamine autoreceptor agonist activity at low doses. It showed postsynaptic agonist activity at somewhat higher doses, reversing the effects of reserpine in mice and increasing striatal acetylcholine levels. The compound showed some serotonergic (5HT1A) activity, but was inactive at

other receptors. The related monopropylamine (II, U-91356), also showed good dopaminergic agonist activity, and had improved metabolic stability and oral bioavailability in the rat and monkey when compared to I. Compds. I and II have been prepared in tritiated form, and [3H]I (69 Ci/mmol) has found use as a D2 agonist radioligand in binding assays. The dopaminergic (D2) and serotonergic (5HT1A) activities of a series of compds. related to I have been evaluated using this ligand, [3H]raclopride, and [3H]8-OH DPAT.

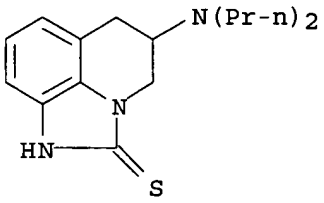
AN 1994:95412 CAPLUS
DN 120:95412
TI Medicinal chemistry of imidazoquinolinone dopamine receptor agonists
AU Moon, M. W.; Morris, J. K.; Heier, R. F.; Hsi, R. S. P.; Manis, M. O.; Royer, M. E.; Walters, R. R.; Lawson, C. F.; Smith, M. W.; et al.
CS Dep. Med. Chem., Upjohn Co., Kalamazoo, MI, 49001, USA
SO Drug Design and Discovery (1993), 9(3-4), 313-22
CODEN: DDDIEV; ISSN: 1055-9612
DT Journal
LA English
IT **132895-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dopamine D2 agonistic activity of)
RN 132895-69-3 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dipropylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)



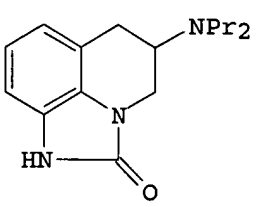
L17 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AB Conformational and mol. mechanics studies of a new series of tricyclic ligands with affinity for either the dopamine D2 receptor or the 5-HT1A receptor, or both, has enabled us to elaborate considerably on previous pharmacophore models for these receptors. The new tricyclic ligands are either angular, 2,3,3a,4,5,9b-hexahydro-1H-benz[e]indole derivs., or linear, 2,3,3a,4,9,9a-hexahydro-1H-benz[f]indole, derivs.; they have either cis or trans ring junctions, and many of the ligands are resolved. In order to have x-ray crystal coordinates for every structural type, two addnl. crystal structures were determined: the trans-(±)-6-hydroxy-3-(n-propyl) angular derivative as the hydrochloride, and (±)-1,2,21,3,4,8b-hexahydro-8-methoxy-2-(2-propenyl)-naphth[2,1-b]azetidine hydrochloride. Several recently reported imidazoquinolinones with dopaminergic and serotonergic activities were also used in developing the models as were other known ligands which are conformationally constrained. A new method for determining intrinsic activity at the D2 receptor made consistent and reliable ests. of dopamine agonist, partial agonist, and antagonist activities available. The models explain these activities in terms of the 3-dimensional structural features of the ligands and their probable orientations at the D2 receptor site. They also explain why allyl and Pr analogs of some structures have very different affinities while affinities are quite similar for allyl and Pr analogs of other structures; at both receptors a particular orientation of the amine substituent in the binding

site correlates with preference for allyl over Pr derivs. Suggestions are made for enhancing selectivity at the 5-HT1A receptor or at the dopamine D2 receptor. An angular, cis, (3aR,9bS), 7-hydroxy, 1-(2-propenyl) analog should be selective for the 5-HT1A receptor. A linear, trans, (3aR,9aS), 7-hydroxy, 1-(2-propenyl) analog should be selective for the dopamine D2 receptor, and would be predicted to be an antagonist.

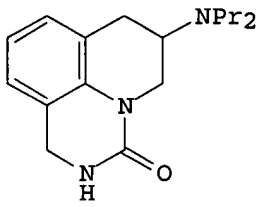
AN 1993:462412 CAPLUS
DN 119:62412
TI Comparison of 5-HT1A and dopamine D2 pharmacophores. X-ray structures and affinities of conformationally constrained ligands
AU Chidester, Connie G.; Lin, Chiu Hong; Lahti, Robert A.; Haadsma-Svensson, Susanne R.; Smith, Martin W.
CS Phys. Anal. Chem., Upjohn Co., Kalamazoo, MI, 49001, USA
SO Journal of Medicinal Chemistry (1993), 36(10), 1301-15
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT **132895-69-3**
RL: PRP (Properties)
(affinity of, for serotoninergic S1A and dopaminergic D2 receptors, structure and pharmacophore modeling in relation to)
RN 132895-69-3 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dipropylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

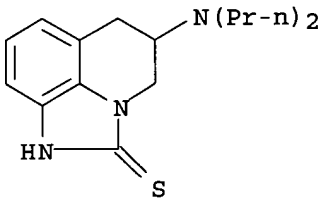


II

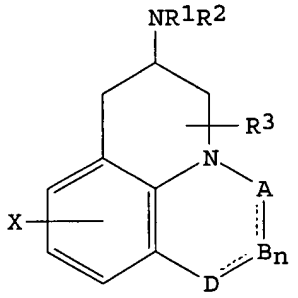
AB The synthesis of 5-(dipropylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (I), a potent dopamine D2 agonist showing high dopamine/serotonin (5HT1A) selectivity, is described. Dopaminergic activity is associated with the (R)-enantiomer of I; the (S)-enantiomer shows no dopaminergic activity. A series of analogs where the imidazolone ring was modified to various 5- or 6-membered heterocyclic rings were prepared Some of these compds. showed a combination of dopaminergic and serotonergic activity, while one compound, 6-(dipropylamino)-1,2,6,7-tetrahydro-3H,5H-pyrido[3,2,1-ij]quinazolin-3-one (II), was a selective

serotonergic agonist. Various analogs of I where the dipropylamine substituent was modified were prepared Most of these showed reduced dopaminergic activity, while several were as potent as I at the serotonin 5HT1A receptor. Orientations for the new compds. at dopamine and serotonin receptors are proposed and compared with those of other tricyclic ligands known to have high affinity at these receptors.

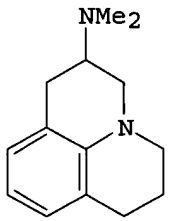
AN 1992:194247 CAPLUS
DN 116:194247
TI Dopaminergic and serotonergic activities of imidazoquinolinones and related compounds
AU Moon, Malcolm W.; Morris, Jeanette K.; Heier, Richard F.; Chidester, Connie G.; Hoffmann, William E.; Piercey, Montford F.; Althaus, John S.; VonVoigtlander, Philip F.; Evans, Dawna L.; et al.
CS Dep. Med. Chem., Upjohn Co., Kalamazoo, MI, 49001, USA
SO Journal of Medicinal Chemistry (1992), 35(6), 1076-92
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT **132895-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dopaminergic and serotonergic activity and receptor binding affinity of)
RN 132895-69-3 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dipropylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)



L17 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I



II

AB The title compds. [I; R1, R2, R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl; R1R2N = (unsatd.) heterocyclyl; X = H, alkyl, halo, OH, alkoxy, cyano, CO2H, CONH2, alkoxycarbonyl; A = CH, CH2, CHMe, CHR4, CO, CS, CSMe, C:NH, CNH2, CNHMe, CNHCO2Me, CNHCN, SO2, N; R4 =

halo; B, D = CH2, CH, CHR4, CO, N, NH, NMe, O; n = 0, 1] were prepared Thus, di-Et (formylamino)malonate and then 8-(bromomethyl)quinoline (preparation given) were added to NaOEt in EtOH and the mixture was stirred 15 min to give 58% di-Et (formylamino)(8-quinolinylmethyl)propanedioate. The latter was hydrogenated in HOAc over Pd/C to give 97% Et 2,3,6,7-tetrahydro-3-oxo-2-formylamino-1H,5H-benzo[ij]quinolizine-2-carboxylate. The latter was saponified, decarboxylated, reductively N-methylated, and reduced with LiAlH4 to give title compound II. I in mice showed activity in hypothermia and hypoxic stress tests in mice with ED50 of as low as 0.05 mg/kg.

AN 1991:143420 CAPLUS
DN 114:143420
TI Preparation of aminoheterocycloquinolines as central nervous system agents
IN Moon, Malcolm W.; Heier, Richard F.; Morris, Jeanette K.
PA Upjohn Co., USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9015058	A1	19901213	WO 1990-US2621	19900515
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
				US 1989-364374 A2	19890609
	CA 2051697	AA	19901210	CA 1990-2051697	19900515
	CA 2051697	C	19961008		
				US 1989-364374 A	19890609
	AU 9057438	A1	19910107	AU 1990-57438	19900515
	AU 626427	B2	19920730		
				US 1989-364374 A	19890609
				WO 1990-US2621 A	19900515
	EP 480939	A1	19920422	EP 1990-908816	19900515
	EP 480939	B1	19950125		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
				US 1989-364374 A	19890609
				WO 1990-US2621 W	19900515
	HU 60269	A2	19920828	HU 1990-5216	19900515
	HU 210264	B	19950328		
				US 1989-364374 A	19890609
	JP 04506071	T2	19921022	JP 1990-508489	19900515
	JP 2955358	B2	19991004		
				US 1989-364374 A	19890609
				WO 1990-US2621 W	19900515
	ES 2067744	T3	19950401	ES 1990-908816	19900515
				US 1989-364374 A	19890609
	FI 96310	B	19960229	FI 1991-5715	19911204
	FI 96310	C	19960610		
				US 1989-364374 A	19890609
				WO 1990-US2621 W	19900515
	NO 9104827	A	19920207	NO 1991-4827	19911206
	NO 301421	B1	19971027		
				US 1989-364374 A	19890609
				WO 1990-US2621 W	19900515
	US 5273975	A	19931228	US 1991-778204	19911206
				US 1989-364374 B2	19890609

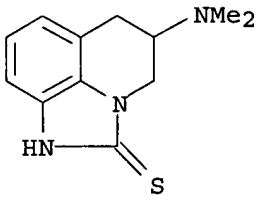
			WO 1990-US2621	W	19900515
RU 2023712	C1	19941130	RU 1991-5010471		19911206
			US 1989-364374	A	19890609
			WO 1990-US2621	A	19900515
US 5436240	A	19950725	US 1993-132633		19931006
			US 1989-364374	B2	19890609
			US 1991-778204	A3	19911206
FI 9404704	A	19941007	FI 1994-4704		19941007
FI 96687	B	19960430			
FI 96687	C	19960812			
			US 1989-364374	A	19890609
			WO 1990-US2621	W	19900515
			FI 1991-5715	A	19911204

OS MARPAT 114:143420

IT **132874-94-3P 132895-69-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as central nervous system agent)

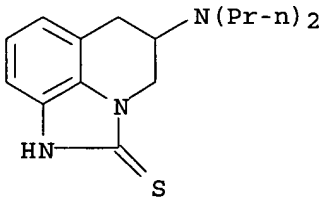
RN 132874-94-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dimethylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)



RN 132895-69-3 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5-(dipropylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)



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ANSWER 26 OF 33 CAPLUS COPYRIGHT 2005 ACS on STN

AB Methods for encapsulating aspartame and other sweetening agents to prevent degradation by exposure to moisture when used as a **sweetener** are described. The encapsulated sweetening agents are suitable for foods, e.g. chewing gums, and oral **pharmaceuticals**. The method encapsulates the aspartame in a matrix of lecithins 0.5-20, fatty acids and waxes 65-90, glycerides 0.5-20, and a silicone-based anti-foaming agent 0.001-0.5% with the matrix having a m.p. 20°-90°. The use of the antifoaming agent improves temperature tolerance and prevents moisture-dependent congealing during processing.

AN 1992:530252 CAPLUS

DN 117:130252

TI Encapsulation of **sweeteners** in an edible matrix containing a silicone antifoaming agent

IN Bodor, Zoltan; Dokuzovic, Zdravko

PA Warner-Lambert Co., USA

SO U.S., 15 pp.
CODEN: USXXAM

DT Patent

LA English

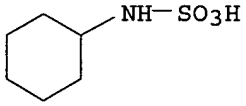
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5126151	A	19920630	US 1991-645366	19910124
PRAI US 1991-645366		19910124		

IT **100-88-9D**, Cyclamate, salts
RL: BIOL (Biological study)
(encapsulation in edible matrixes of, silicone antifoaming agents for improved moisture and temperature stability in)

RN 100-88-9 CAPLUS

CN Sulfamic acid, cyclohexyl- (9CI) (CA INDEX NAME)



L5 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2005 ACS on STN

AB A method and composition for protecting an active ingredient and providing controlled release therefore, especially in a chewing gum composition, includes a high mol. weight poly(vinyl acetate) blended with a hydrophobic plasticizer (5:1-1:5, preferably 2:1-1:2) which forms a film with the high-mol.-weight poly(vinyl acetate) in the absence of an added solvent therefore. The active ingredient, such as the artificial **sweetener** aspartame, is blended into the encapsulating composition, e.g. by melt blend (1:1-1:10, preferably 1:3-1:5), which can then be cooled to a solid and ground into particulate. The encapsulated active ingredient can then be used in a composition for ingestion by a human in the form of e.g. a chewing gum with extended shelf life and highly controlled release of the active ingredient. Aspartame 40 g was encapsulated with a poly(vinyl acetate)-glyceryl monostearate (50 g:100 g) mixture by melt blending (85°), cooled, and ground to 30 mesh. These particulates were used at 2.6% to sweeten a gum- and sorbitol-based chewing gum.

AN 1987:596785 CAPLUS
DN 107:196785

TI Encapsulation composition for use with chewing gum and edible products

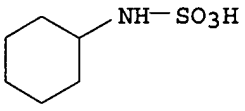
IN Yang, Robert K.
PA Warner-Lambert Co., USA

SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 229000	A2	19870715	EP 1986-810619	19861231
	EP 229000	A3	19880330		
	R: DE, ES, FR, GB, GR, NL, SE				
	ZA 8609190	A	19870826	ZA 1986-9190	19861204
	AU 8666708	A1	19870709	AU 1986-66708	19861218
	AU 581114	B2	19890209		
	JP 01051981	B4	19891107	JP 1987-240	19870106
	US 4740376	A	19880426	US 1987-67895	19870629
	US 4929447	A	19900529	US 1987-67894	19870629
	JP 02000408	A2	19900105	JP 1989-84173	19890404
PRAI	US 1986-816769	A	19860107		

IT **100-88-9D**, Cyclamate, derivs.
RL: BIOL (Biological study)
(encapsulation of, poly(vinyl acetate)-glyceride compns. for)

RN 100-88-9 CAPLUS
CN Sulfamic acid, cyclohexyl- (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2005 ACS on STN

AB A sweetening composition contains sorbitol 40-59.5, glucose 40-59.5, cyclamate 0.1-0.2, and saccharin 0.01-0.02% by weight and combines the desired characteristics of both natural and synthetic **sweeteners**, the unpleasant aftertaste of the synthetics is eliminated and a reduction in caloric content is possible. These **sweeteners** may optionally be combined with excipients and carriers used in tablet formulation. A sweetening composition contained a mixture of sorbitol 25.0, glucose 25.0, cyclamate 0.07, and Na saccharin 0.007 g.

AN 1987:616458 CAPLUS

DN 107:216458

TI Sweetening compositions containing cyclamate and saccharin

IN Cascales, Maria Palazon

PA Spain

SO Brit. UK Pat. Appl., 3 pp.
CODEN: BAXXDU

DT Patent

LA English

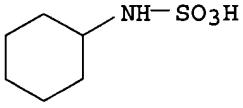
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2187074	A1	19870903	GB 1986-4932	19860227
	GB 2187074	B2	19900124		
PRAI	GB 1986-4932		19860227		

IT **100-88-9**, Cyclamate
RL: BIOL (Biological study)
(sweetening composition containing saccharin and glucose and sorbitol and)

RN 100-88-9 CAPLUS

CN Sulfamic acid, cyclohexyl- (9CI) (CA INDEX NAME)



L5 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2005 ACS on STN

AB Saccharin (I) in acetate buffer (pH 3.6) was determined spectrophotometrically by adding Acridine Orange, extracting the colored product into Me iso-Bu ketone-cyclohexanone (3:2), and reading the absorbance at 492 nm. Similarly, cyclamate (II) in acetate buffer (pH 4.2) was determined by forming an ion-pair with Nile Blue, extracting the product into Me iso-Bu ketone-cyclohexanone (4:1), and measuring the absorbance at 632 nm. Linearity was observed for 0.5-5 μg/mL I and 2-30 μg/mL II. Molar absorptivity values were 7.6 + 104 and 6.6 + 103 L/mol/cm, resp. The relative standard deviation was ≤2.1% for I and ≤1.9% for II. The method was applied to the determination of I and II in artificial **sweeteners**, **pharmaceutical** products, and chewing gum. For samples containing both I and II, a preliminary TLC separation was required to prevent interference. Extraction procedures for the elimination of other interfering compds., especially SDS and acetylsalicylic acid, are discussed.

AN 1988:20621 CAPLUS
DN 108:20621

TI Spectrophotometric determination of saccharin and cyclamate with basic dyes by ion-pairs solvent-extraction methods

AU Lopez Garcia, I.; Sanchez-Pedreno, C.; Contreras Contreras, F.
CS Fac. Cienc., Univ. de Murcia, Murcia, Spain

SO Anales de Ciencias (1986), 45(1-4), 25-32
CODEN: ANCIET
DT Journal
LA Spanish

IT **100-88-9**, Cyclamate
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in food and **pharmaceuticals**, by spectrophotometry)

RN 100-88-9 CAPLUS
CN Sulfamic acid, cyclohexyl- (9CI) (CA INDEX NAME)